
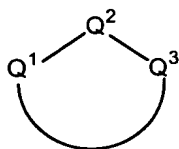


-  C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl optionally substituted in the heteroaryl radical;
- D is C(R<sup>2</sup>)(R<sup>3</sup>);
- E is tetrazolyl, (R<sup>8</sup>O)<sub>2</sub>P(O), HOS(O)<sub>2</sub>, R<sup>9</sup>NHS(O)<sub>2</sub> or R<sup>10</sup>CO;
- R is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, where alkyl radicals can be mono- or polysubstituted by fluorine;
- R<sup>0</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-CO, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the aryl radical, optionally substituted heteroaryl-S(O)<sub>n</sub> or heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the heteroaryl radical, where n is 1 or 2;
- R<sup>1</sup> is one of the radicals -S-R<sup>21</sup>, -S-S-R<sup>21</sup>, -S(O)-R<sup>22</sup>, -S(O)<sub>2</sub>-R<sup>22</sup>, -S-OR<sup>21</sup>, -S(O)-OR<sup>21</sup>, -S(O)<sub>2</sub>-OR<sup>21</sup>, -S-N(R<sup>21</sup>)-R<sup>28</sup>, -S(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -S(O)<sub>2</sub>-N(R<sup>21</sup>)-R<sup>28</sup>, -S-C(O)-R<sup>21</sup>, -S-C(O)-OR<sup>22</sup>, -S-C(S)-SR<sup>22</sup>, -S-C(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -S-C(S)-N(R<sup>21</sup>)-R<sup>28</sup>, -O-C(O)-R<sup>21</sup>, -O-C(S)-R<sup>21</sup>, -O-C(O)-OR<sup>22</sup>, -O-C(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -O-C(S)-N(R<sup>21</sup>)-R<sup>28</sup>, -O-S(O)<sub>2</sub>-OR<sup>21</sup>, -O-S(O)-OR<sup>21</sup>, -O-S(O)<sub>2</sub>-N(R<sup>21</sup>)-R<sup>28</sup>, -O-S(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -O-S(O)<sub>2</sub>-R<sup>22</sup>, -O-S(O)-R<sup>22</sup>, -O-P(O)(OR<sup>21</sup>)<sub>2</sub>, -O-P(O)(OR<sup>21</sup>)-N(R<sup>21</sup>)-R<sup>28</sup>, -O-P(O)(N(R<sup>21</sup>)-R<sup>28</sup>)<sub>2</sub>, -N(R<sup>29</sup>)-C(O)-OR<sup>22</sup>, -N(R<sup>28</sup>)-C(O)-SR<sup>22</sup>, -N(R<sup>28</sup>)-C(S)-OR<sup>22</sup>, -N(R<sup>28</sup>)-C(S)-SR<sup>22</sup>, -N(R<sup>28</sup>)-C(S)-R<sup>21</sup>, -N(R<sup>28</sup>)-

C(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-C(S)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-S(O)<sub>2</sub>-R<sup>22</sup>, -N(R<sup>28</sup>)-S(O)-R<sup>22</sup>,  
-N(R<sup>28</sup>)-S(O)<sub>2</sub>-OR<sup>21</sup>, -N(R<sup>28</sup>)-S(O)-OR<sup>21</sup>, -N(R<sup>28</sup>)-S(O)<sub>2</sub>-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-S(O)-  
N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-P(O)(OR<sup>21</sup>)<sub>2</sub>, -N(R<sup>28</sup>)-P(O)(OR<sup>21</sup>)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-P(O)(N(R<sup>21</sup>)-  
R<sup>28</sup>)<sub>2</sub>, -N(R<sup>28</sup>)-P(O)(R<sup>22</sup>)-OR<sup>21</sup>, -N(R<sup>28</sup>)-P(O)(R<sup>22</sup>)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-P(O)(R<sup>22</sup>)<sub>2</sub>,  
P(O)(OR<sup>21</sup>)<sub>2</sub>, -P(O)(OR<sup>21</sup>)-N(R<sup>21</sup>)-R<sup>28</sup>, -P(O)(N(R<sup>21</sup>)-R<sup>28</sup>)<sub>2</sub>, -P(O)(R<sup>22</sup>)-OR<sup>21</sup>, -P(O)(R<sup>22</sup>)-  
N(R<sup>21</sup>)-R<sup>28</sup>, -P(O)(R<sup>22</sup>)<sub>2</sub>, C(S)-R<sup>21</sup>, -C(S)-SR<sup>21</sup>, C(S)-N(R<sup>21</sup>)-R<sup>28</sup>, cyano, halogen, nitro  
or methylenedioxy or the radical of an optionally substituted, 5- to 14-membered,  
mono- or polycyclic, saturated or unsaturated heterocyclic ring of the formula



in which

Q<sup>1</sup> is -C(R<sup>21</sup>)<sub>2</sub>-, =C(R<sup>21</sup>)-, -N(R<sup>28</sup>)-, -O- or -S-;

Q<sup>2</sup> is S(O)- or S(O)<sub>2</sub>-;

Q<sup>3</sup> is -C(R<sup>21</sup>)<sub>2</sub>-, =C(R<sup>21</sup>)-, -N(R<sup>28</sup>)-, -O-, -S-, -C(R<sup>21</sup>)(-)- or -N(-),

where the heterocyclic ring is optionally bonded to the group A via the free bond in  
the groups -C(R<sup>21</sup>)(-)- or -N(-)- representing Q<sup>3</sup> or via any other desired ring carbon  
atom and where, if the heterocyclic ring is bonded to a ring system contained in the  
group A, the heterocyclic ring can also be fused via two adjacent atoms to the ring  
system in the group A;

R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub> alkyl  
optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

R<sup>3</sup> is (C<sub>2</sub>-C<sub>8</sub>)-alkenylcarbonyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynylcarbonyl, R<sup>4</sup>CO, COOR<sup>4</sup>, CON(CH<sub>3</sub>)R<sup>4</sup>,  
CONHR<sup>4</sup>, or CSNHR<sup>4</sup>;

R<sup>4</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by  
identical or different radicals selected from the group consisting of hydroxyl,  
hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)aminocarbonyl, amino-  
(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl,  
(C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-  
C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-  
alkoxycarbonyl optionally substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-

alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, Het-CO, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, HOS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, R<sup>9</sup>NHS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (R<sup>8</sup>O)<sub>2</sub>P(O)-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, tetrazolyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, halogen, nitro, trifluoromethyl or the radical R<sup>5</sup>;

CL  
cont.  
R<sup>5</sup> is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms from the group consisting of nitrogen, oxygen and sulfur, a radical R<sup>6</sup> or a radical R<sup>6</sup>CO-, where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl;

R<sup>6</sup> is R<sup>7</sup>R<sup>8</sup>N, R<sup>7</sup>O or R<sup>7</sup>S or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) aza-amino acid or a dipeptide radical wherein the aryl group of the aza-amino acid is optionally substituted and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, esters and amides thereof, wherein hydrogen or hydroxymethyl can optionally stand in place of free functional groups and/or where free functional groups can be protected by protective groups customary in peptide chemistry;

R<sup>7</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-arylcarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkyloxycarbonyl, where the alkyl groups are optionally substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted by identical or different radicals selected from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl, or R<sup>7</sup> is a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) aza-amino acid or a dipeptide radical wherein the aryl group of the aza-amino acid is optionally substituted and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

- C =*  
*Conf.*
- $R^9$  is hydrogen, aminocarbonyl,  $(C_1-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_8)$ -cycloalkylaminocarbonyl, optionally substituted  $(C_6-C_{14})$ -arylaminocarbonyl,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_3-C_8)$ -cycloalkyl;
- $R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy optionally substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{13}$  is hydrogen,  $(C_1-C_6)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical,  $(C_3-C_8)$ -cycloalkyl or  $(C_3-C_8)$ -cycloalkyl- $(C_1-C_8)$ -alkyl;
- $R^{21}$  is hydrogen,  $(C_1-C_8)$ -alkyl, hydroxy- $(C_1-C_8)$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_3-C_{12})$ -cycloalkyl,  $(C_3-C_{12})$ -cycloalkyl- $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl or heteroaryl- $(C_1-C_8)$ -alkyl optionally substituted in the heteroaryl radical, where alkyl radicals optionally monosubstituted or polysubstituted by fluorine and the radicals  $R^{21}$  can be identical or different if they occur two or more times;
- $R^{22}$  is  $(C_1-C_8)$ -alkyl, hydroxy- $(C_1-C_8)$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_3-C_{12})$ -cycloalkyl,  $(C_3-C_{12})$ -cycloalkyl- $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl or heteroaryl- $(C_1-C_8)$ -alkyl optionally substituted in the heteroaryl radical, where alkyl radicals can be monosubstituted or polysubstituted by fluorine and the radicals  $R^{22}$  can be identical or different if they occur two or more times;
- $R^{28}$  is one of the radicals  $R^{21}$ -,  $R^{21}N(R^{21})$ -,  $R^{21}C(O)$ -,  $R^{22}O-C(O)$ -,  $R^{21}N(R^{21})-C(O)$ - or  $R^{21}N(R^{21})-C(=N(R^{21}))$ -;
- $R^{29}$  is one of the radicals  $R^{22}$ -,  $R^{21}N(R^{21})$ -,  $R^{21}C(O)$ -,  $R^{22}O-C(O)$ -,  $R^{21}N(R^{21})-C(O)$ - or  $R^{21}N(R^{21})-C(=N(R^{21}))$ -;
- Het is the radical of a 5- to 10-membered, monocyclic or polycyclic heterocycle bonded via a nitrogen atom, which can be aromatic or partially unsaturated or saturated and which can contain one, two, three or four identical or different additional ring heteroatoms from the group consisting of oxygen, nitrogen and sulfur and which can be optionally substituted on carbon atoms and on additional ring nitrogen atoms, where there can be identical or different radicals  $R^h$ ,  $R^hCO$  or  $R^hO-CO$  as substituents on additional ring nitrogen atoms and  $R^h$  is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -

cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

b, c, and d are 1, e and f are 0; and

g and h independently of one another are 0, 1, 2, 3, 4, 5 or 6;

or a stereoisomer thereof, or a physiologically tolerable salt thereof.

38. (New) A compound of the formula I as claimed in claim 37, in which

B is a bivalent radical selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, and (C<sub>1</sub>-C<sub>3</sub>)-alkylenophenyl;

R and R<sup>0</sup> independently of one another are hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl or heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, where alkyl radicals can be mono- or polysubstituted by fluorine;

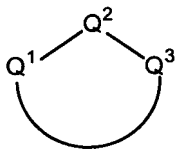
R<sup>4</sup> is hydrogen or (C<sub>1</sub>-C<sub>28</sub>)-alkyl which can optionally be mono- or polysubstituted by identical or different radicals selected from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-((C<sub>1</sub>-C<sub>8</sub>)-alkyl)aminocarbonyl, amino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, amino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkylphenyl-(C<sub>1</sub>-C<sub>3</sub>)-alkylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonylamino-(C<sub>2</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl optionally substituted in the aryl radical, amino, mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>)-alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, HOS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, R<sup>9</sup>NHS(O)<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, (R<sup>8</sup>O)<sub>2</sub>P(O)-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, tetrazolyl-(C<sub>1</sub>-C<sub>3</sub>)-alkyl, halogen, nitro, trifluoromethyl or the radical R<sup>5</sup>;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

or a stereoisomer thereof, or a physiologically tolerable salt thereof.

39. (New) A compound of the formula I as claimed in claim 37, in which R<sup>1</sup> is one of the radicals -S(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -S(O)<sub>2</sub>-N(R<sup>21</sup>)-R<sup>28</sup>, O-C(O)-R<sup>21</sup>, -O-C(O)-OR<sup>22</sup>, -O-C(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -O-C(S)-N(R<sup>12</sup>)-R<sup>28</sup>, -O-S(O)<sub>2</sub>-N(R<sup>21</sup>)-R<sup>28</sup>, -O-S(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>29</sup>)-C(O)-OR<sup>22</sup>, -N(R<sup>28</sup>)-C(S)-R<sup>21</sup>, -N(R<sup>28</sup>)-C(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-C(S)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-S(O)<sub>2</sub>-R<sup>22</sup>, -

$N(R^{28})-S(O)-R^{22}$ ,  $-N(R^{28})-S(O)_2-OR^{21}$ ,  $-N(R^{28})-S(O)-OR^{21}$ ,  $-N(R^{28})-S(O)_2-N(R^{21})-R^{28}$ ,  $-N(R^{28})-S(O)-N(R^{21})-R^{28}$ ,  $-C(S)-R^{21}$ ,  $-C(S)-N(R^{21})-R^{28}$  or cyano or the radical of an optionally substituted, 5- to 14-membered, mono- or polycyclic, saturated or unsaturated heterocyclic ring of the formula



in which

$Q^1$  is  $-C(R^{21})_2-$ ,  $=C(R^{21})-$ ,  $-N(R^{28})-$ ,  $-O-$  or  $-S-$ ;

$Q^2$  is  $-S(O)-$  or  $-S(O)_2-$ ;

$Q^3$  is  $-C(R^{21})_2-$ ,  $=C(R^{21})-$ ,  $-N(R^{28})-$ ,  $-O-$ ,  $-S-$ ,  $-C(R^{21})(-)-$  or  $-N(-)-$ ,

where the heterocyclic is optionally bonded to the group A via the free bond in the groups  $-C(R^{21})(-)-$  or  $-N(-)-$  representing  $Q^3$  or via any other desired ring carbon atom and where, if the heterocyclic ring is bonded to a ring system contained in the group A, the heterocyclic ring can also be fused via two adjacent atoms to the ring system in the group A; or a stereoisomer thereof, or a physiologically tolerable salt thereof.

40. (New) A compound of the formula I as claimed in claim 37, in which  $R^0$  is  $(C_1-C_8)$ -alkyl,  $(C_3-C_{12})$ -cycloalkyl,  $(C_3-C_{12})$ -cycloalkyl- $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl or heteroaryl- $(C_1-C_8)$ -alkyl optionally substituted in the heteroaryl radical; or a stereoisomer thereof, or a physiologically tolerable salt thereof.

41. (New) A compound of the formula I as claimed in claim 40, wherein  $R^0$  is selected from the group consisting of biphenylmethyl, naphthylmethyl, and benzyl, each of which is unsubstituted or monosubstituted or polysubstituted in the aryl radical; or a stereoisomer thereof, or a physiologically tolerable salt thereof.

42. (New) A compound of the formula I as claimed in claim 37, in which A is a bivalent radical from the group consisting of methylene, ethylene, trimethylene,

tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl, methylenephenyl, methylenephenylmethyl;

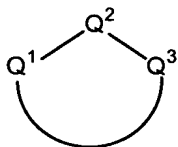
B is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene, or substituted methylene or ethylene;

E is  $R^{10}CO$ ;

R is hydrogen,  $(C_1-C_6)$ -alkyl or benzyl;

$R^0$  is  $(C_1-C_8)$ -alkyl  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;

$R^1$  is one of the radicals  $-S(O)-N(R^{21})-R^{28}$ ,  $-S(O)_2-N(R^{21})-R^{28}$ ,  $-O-C(O)-R^{21}$ ,  $-O-C(O)-OR^{22}$ ,  $-O-C(O)-N(R^{21})-R^{28}$ ,  $-O-C(S)-N(R^{21})-R^{28}$ ,  $-O-S(O)_2-N(R^{21})-R^{28}$ ,  $-O-S(O)-N(R^{21})-R^{28}$ ,  $-N(R^{29})-C(O)-OR^{22}$ ,  $-N(R^{28})-C(S)-R^{21}$ ,  $-N(R^{28})-C(O)-N(R^{21})-R^{28}$ ,  $-N(R^{28})-C(S)-N(R^{21})-R^{28}$ ,  $-N(R^{28})-S(O)_2-R^{22}$ ,  $-N(R^{28})-S(O)-R^{22}$ ,  $-N(R^{28})-S(O)_2-OR^{21}$ ,  $-N(R^{28})-S(O)-OR^{21}$ ,  $-N(R^{28})-S(O)_2-N(R^{21})-R^{28}$ ,  $-N(R^{28})-S(O)-N(R^{21})-R^{28}$ ,  $-C(S)-R^{21}$ ,  $-C(S)-N(R^{21})-R^{28}$  or cyano or the radical of an optionally substituted, 5- to 14-membered, mono- or polycyclic, saturated or unsaturated heterocyclic ring of the formula



in which

$Q^1$  is  $-C(R^{21})_2-$ ,  $=C(R^{21})-$ ,  $-N(R^{28})-$ ,  $-O-$  or  $-S-$ ;

$Q^2$  is  $-S(O)-$  or  $-S(O)_2-$ ;

$Q^3$  is  $-C(R^{21})_2-$ ,  $=C(R^{21})-$ ,  $-N(R^{28})-$ ,  $-O-$ ,  $-S-$ ,  $-C(R^{21})(-)-$  or  $-N(-)-$ ,

where the heterocyclic ring can be bonded to the group A via the free bond in the groups  $-C(R^{21})(-)-$  or  $-N(-)-$  representing  $Q^3$  or via any other desired ring carbon atom and where, if the heterocyclic ring is bonded to a ring system contained in the group A, the heterocyclic ring can also be fused via two adjacent atoms to the ring system in the group A;

$R^2$  is hydrogen or  $(C_1-C_8)$ -alkyl.

$R^3$  is  $R^4CO$ ,  $COOR^4$ ,  $CONHR^4$ , or  $CSNHR^4$ ;

and g and h independently of one another are the numbers 0, 1, 2 or 3;

or a stereoisomer thereof, or a physiologically tolerable salt thereof.

43. (New) A compound of the formula I as claimed in claim 37, in which  $R^{13}$  is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl; or a stereoisomer thereof, or a physiologically tolerable salt thereof.

44. (New) A compound of the formula I as claimed in claim 37, in which  $R^3$  is COOR<sup>4</sup>, or CONHR<sup>4</sup>, where CONHR<sup>4</sup> is the radical of an -amino acid, an -amino-(C<sub>2</sub>-C<sub>8</sub>)-alkylamide thereof, its (C<sub>1</sub>-C<sub>8</sub>)-alkyl ester, its (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl ester or its derivative in which the carboxylic acid group is converted into the group Het-CO, or a stereoisomer thereof, or a physiologically tolerable salt thereof.

45. (New) A compound of the formula I as claimed in claim 44, wherein the radical of the -amino acid is selected from the group consisting of valine, lysine, phenylglycine, phenylalanine, tryptophan, (C<sub>1</sub>-C<sub>8</sub>)-alkyl esters, (C<sub>6</sub>-C<sub>4</sub>)-aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl esters, and Het-CO derivatives thereof; or a stereoisomer thereof, or a physiologically tolerable salt thereof.

46. (New) A compound of the formula I as claimed in claim 37, in which simultaneously

Y is a carbonyl group;

Z is N(R<sup>0</sup>);

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl, methylenephenyl or methylenephenylmethyl;

B is an unsubstituted or substituted methylene radical;

E is R<sup>10</sup>CO;

R is hydrogen or (C<sub>1</sub>-C<sub>4</sub>)-alkyl;

R<sup>0</sup> is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical;

R<sup>1</sup> is one of the radicals -O-C(O)-R<sup>21</sup>, -O-C(O)-OR<sup>22</sup>, -O-C(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>29</sup>)-C(O)-OR<sup>22</sup>, -N(R<sup>28</sup>)-C(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-C(S)-N(R<sup>21</sup>)-R<sup>28</sup> or cyano;

R<sup>2</sup> is hydrogen;

R<sup>3</sup> is the radical CONHR<sup>4</sup>;



R<sup>4</sup> is methyl which is substituted by hydroxycarbonyl and a radical from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl and benzyl, or is methyl which is substituted by (C<sub>1</sub>-C<sub>8</sub>)-alkoxycarbonyl and a radical from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl and benzyl, or is methyl which is substituted by Het-CO and a radical from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl, phenyl and benzyl;

R<sup>10</sup> is hydroxyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxy;

R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl;

e, f and g are 0; and

h is 1 or 2;

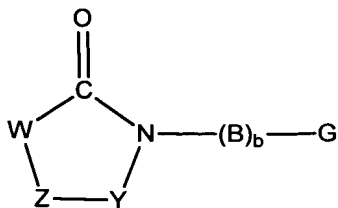
or a stereoisomer thereof, or a physiologically tolerable salt thereof.

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Cont.  
47. (New) A compound of the formula I as claimed in claim 37, in which a substituted methylene radical or substituted ethylene radical representing the group B carries as a substituent a radical from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl and (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>10</sub>)-aryl, (C<sub>6</sub>-C<sub>10</sub>)-aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, and heteroaryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl optionally substituted in the heteroaryl radical, or a stereoisomer thereof, or a physiologically tolerable salt thereof.

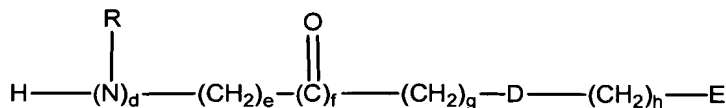
48. (New) A compound of the formula I as claimed in claim 37, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical; or a stereoisomer thereof, or a physiologically tolerable salt thereof.

49. (New) A compound of the formula I as claimed in claim 37, in which R<sup>1</sup> is one of the radicals -O-C(O)-R<sup>21</sup>, -O-C(O)-OR<sup>22</sup>, -O-C(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>29</sup>)-C(O)-OR<sup>22</sup>, -N(R<sup>28</sup>)-C(O)-N(R<sup>21</sup>)-R<sup>28</sup>, -N(R<sup>28</sup>)-C(S)-N(R<sup>21</sup>)-R<sup>28</sup> or cyano; or a stereoisomer thereof, or a physiologically tolerable salt thereof.

50. (New) A process for the preparation of compounds of the formula I as claimed in claim 37, comprising carrying out a fragment condensation of a compound of the formula



with a compound of the formula III



where W, Y, Z, B, D, B and R, and b, d, e, f, g, and h are defined as indicated in claim 37 and G is (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, carboxyl, or an active ester of a carboxylic acid.

51. (New) A pharmaceutical preparation comprising one or more compounds of the formula I as claimed in claim 37 or a stereoisomer thereof, or a physiologically tolerable salt thereof; and one or more pharmaceutically innocuous carriers and/or additives.

52. (New) A kit comprising a VLA-4-antagonizing effective amount of one or more compounds of the formula I as claimed in claim 37 or a stereoisomer thereof, or a physiologically tolerable salt thereof; instructions for use; and one or more pharmaceutically innocuous carriers and/or additives.

53. (New) A method for inhibiting adhesion of leukocytes to endothelial cells in a mammal, comprising administering to a subject in need thereof a VLA-4 antagonizing amount of a compound according to claim 37 for a time sufficient to antagonize VLA-4.

54. (New) A method for inhibiting bronchoconstriction in a mammal, comprising administering to a subject in need thereof a VLA-4 antagonizing amount of a compound according to claim 37 for a time sufficient to antagonize VLA-4.

55. (New) A method for inhibiting release of cytokines from leukocytes in a mammal, comprising administering to a subject in need thereof a VLA-4 antagonizing amount of a compound according to claim 37 for a time sufficient to antagonize VLA-4.

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56. (New) A method for inhibiting a VLA-dependant inflammatory response in a mammal, comprising administering to a subject in need thereof a VLA-4 antagonizing amount of a compound according to claim 37 for a time sufficient to antagonize VLA-4.

57. (New) A method for inhibiting tumor metastasis in a mammal, comprising administering to a subject in need thereof a VLA-4 antagonizing amount of a compound according to claim 37 for a time sufficient to antagonize VLA-4.

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